Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
NEWS
     2
                 "Ask CAS" for self-help around the clock
NEWS
        JAN 27
                Source of Registration (SR) information in REGISTRY updated
                 and searchable
NEWS
         JAN 27
                A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS
     5
         FEB 05 German (DE) application and patent publication number format
                 changes
NEWS
     6
        MAR 03
                MEDLINE and LMEDLINE reloaded
                MEDLINE file segment of TOXCENTER reloaded
NEWS
     7
        MAR 03
NEWS 8 MAR 03
                FRANCEPAT now available on STN
NEWS 9 MAR 29
                Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26
                IFIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 15 APR 26
                LITALERT now available on STN
NEWS 16 APR 27
                NLDB: New search and display fields available
NEWS EXPRESS
             MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
             General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
             CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 16:22:00 ON 04 MAY 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

10723961.5

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 16:22:13 ON 04 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9 DICTIONARY FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10723961.5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

0

=> s ll sss full

FULL SEARCH INITIATED 16:22:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1409 TO ITERATE

100.0% PROCESSED 1409 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

L2 0 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

155.42 155.63

FILE 'MARPAT' ENTERED AT 16:22:50 ON 04 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Page 3

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 18) (20040430/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6713512 30 MAR 2004
DE 10340887 18 MAR 2004
EP 1403311 31 MAR 2004
JP 2004107291 08 APR 2004
WO 2004027064 01 APR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full FULL SEARCH INITIATED 16:22:56 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 12268 TO ITERATE

72.9% PROCESSED 8939 ITERATIONS

0 ANSWERS

87.1% PROCESSED 10683 ITERATIONS

0 ANSWERS

99.1% PROCESSED 12162 ITERATIONS

0 ANSWERS

100.0% PROCESSED 12268 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.01.05

L3

0 SEA SSS FUL L1

=> log

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:

LOGOFF? (Y)/N/HOLD:Y COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 109.84 SESSION 265.47

STN INTERNATIONAL LOGOFF AT 16:24:19 ON 04 MAY 2004

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2; 3, OR ?):2

```
Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
      2
                 "Ask CAS" for self-help around the clock
NEWS
                 Source of Registration (SR) information in REGISTRY updated
      3
         JAN 27
                 and searchable
NEWS
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS
         FEB 05
                 German (DE) application and patent publication number format
                 changes
        MAR 03 MEDLINE and LMEDLINE reloaded
NEWS
     6
         MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS
     7
NEWS
     8
        MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 15 APR 26 LITALERT now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available
             MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
NEWS EXPRESS
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS
             STN Operating Hours Plus Help Desk Availability
NEWS INTER
             General Internet Information
NEWS LOGIN
             Welcome Banner and News Items
             Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
             CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic. $\,$

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 16:26:52 ON 04 MAY 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 16:27:08 ON 04 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9 DICTIONARY FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading c:\program files\stnexp\queries\10723961.7

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STI

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 16:27:27 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 27284 TO ITERATE

100.0% PROCESSED 27284 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

155.42 155.63

STN INTERNATIONAL LOGOFF AT 16:27:33 ON 04 MAY 2004

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
     1
NEWS
      2
                 "Ask CAS" for self-help around the clock
NEWS
        JAN 27
                 Source of Registration (SR) information in REGISTRY updated
                 and searchable
NEWS
        JAN 27
                A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
        FEB 05
NEWS
     5
                German (DE) application and patent publication number format
                 changes
NEWS
        MAR 03
     6
                MEDLINE and LMEDLINE reloaded
NEWS
        MAR 03
                MEDLINE file segment of TOXCENTER reloaded
NEWS
        MAR 03
     8
                FRANCEPAT now available on STN
                Pharmaceutical Substances (PS) now available on STN
NEWS 9 MAR 29
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
                New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12 MAR 29
NEWS 13 APR 26
                 PROMT: New display field available
                IFIPAT/IFIUDB/IFICDB: New super search and display field
NEWS 14
       APR 26
                 available
                LITALERT now available on STN
NEWS 15 APR 26
NEWS 16 APR 27
                NLDB: New search and display fields available
NEWS EXPRESS
             MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
             General Internet Information
NEWS LOGIN
             Welcome Banner and News Items
NEWS PHONE
             Direct Dial and Telecommunication Network Access to STN
NEWS WWW
             CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 16:31:15 ON 04 MAY 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

10723961.8

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 16:31:27 ON 04 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9 DICTIONARY FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading c:\program files\stnexp\queries\10723961.8

STRUCTURE UPLOADED L1.

=> d l1

L1 HAS NO ANSWERS

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 16:31:52 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 51943 TO ITERATE

100.0% PROCESSED 51943 ITERATIONS

157 ANSWERS

SEARCH TIME: 00.00.01

157 SEA SSS FUL L1 L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 155.42 155.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:32:00 ON 04 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 4 May 2004 VOL 140 ISS 19 FILE LAST UPDATED: 3 May 2004 (20040503/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 L3 40 L2

=> d 13 fbib hitstr abs total

```
L3 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
```

AN 2004:143102 CAPLUS

DN 140:181325 /

TI Preparation of 3-imino-2-indolones as selective antagonists for GalR3 receptor for the treatment of depression and/or anxiety

IN Konkel, Michael; Wetzel, John M.; Talisman, Jamie

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		_																
	PAT	CENT 1	NO.		KI	ND :	DATE			A.	PPLI	CATI	ои ис	ο. :	DATE			
										-								
PI	WO	2004	0148	54	Α	1	2004	0219		M	20	03 - U	S248	67	2003	0807		
		W :	ΑE,	·AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	ĹT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,
			TR,	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,
		•	KZ,	MD,	RU,	TJ												
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
		141	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
			NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			GW,	ML,	MR,	NE,	SN,	TD,	TG									

US 2002-215374 A 20020807

OS MARPAT 140:181325

IT 659726-71-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-71-3 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

IT 659726-72-4P 659726-79-1P 659727-02-3P 659727-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-72-4 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 659726-79-1 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(6-methoxy-3-pyridinyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 659727-02-3 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 659727-04-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro-(9CI) (CA INDEX NAME)

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono-or poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy group; R1 = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F, Cl, or Me; Ar = (un) substituted pyridin-3-yl or hydroxyphenyl group] andtheir pharmaceutically acceptable salts are prepared and disclosed as selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an intermediate iminoindole derivative which was coupled with 2-methoxypyridine-5-boronic acid. I were evaluated for their binding ability to the GalR3 receptor and possessed Ki values ranging from 15-72 The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a

10723961.8 Page 6

pharmaceutical composition made by combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound

of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L3
     ANSWER 2 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2004:142959 CAPLUS
DN
     140:193081
ΤI
     Pyrimidine and indolone derivative GAL3 receptor antagonists, and
     preparation thereof, for the treatment of affective disorders
     Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
IN
     Synaptic Pharmaceutical Corporation, USA
PA
SO
     PCT Int. Appl., 427 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
                      ----
                                            ------
                                           WO 2003-US25133 20030807
     WO 2004014376
                      A1 20040219
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
                                            US 2002-215346 A 20020807
OS
     MARPAT 140:193081
IT
     445453-46-3P 445454-93-3P 445454-94-4P
     445454-95-5P 445454-96-6P 445454-98-8P
     445454-99-9P 445455-00-5P 445455-01-6P
     445455-02-7P 445455-03-8P 445455-04-9P
     445455-05-0P 445455-06-1P 445455-23-2P
     445455-24-3P 445455-25-4P 445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (pyrimidine and indolone derivative GAL3 antagonists for treatment of
        neuropathic pain)
```

Patel <5/4/2004>

2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-

RN

CN

445453-46-3 CAPLUS

(trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-94-4 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-01-6 CAPLUS

CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445454-97-7P 445455-57-2P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-57-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB The invention discloses pyrimidine and indolone derivs, which are selective antagonists for the GAL3 receptor. The invention provides a method of treating a subject suffering from an affective disorder which comprises administering an amount of a compound of the invention effective to treat the subject's affective disorder. The invention also provides a method of treating an affective disorder in a subject which comprises

administering a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. The invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. Preparation of compds. of the invention is described.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
ALL CITATIONS AVAILABLE IN THE RE FORMAT
L3
     ANSWER 3 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2004:142904 CAPLUS
DN
     140:193080
     Pyrimidine and indolone derivative GAL3 antagonists for the treatment of
TI
     neuropathic pain
     Blackburn, Thomas
IN
PA
     Synaptic Pharmaceutical Corporation, USA
     PCT Int. Appl., 359 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                            APPLICATION NO.
                                                              DATE
     ______
                       ----
                             _____
                                             ---------
     WO 2004014307
                                            WO 2003-US24869 20030807
PΙ
                      A2
                             20040219
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
                                            US 2002-215267 A 20020807
OS
     MARPAT 140:193080
IT
     445453-46-3P 445454-93-3P 445454-94-4P
     445454-95-5P 445454-96-6P 445454-98-8P
     445454-99-9P 445455-00-5P 445455-01-6P
     445455-02-7P 445455-03-8P 445455-04-9P
     445455-05-0P 445455-06-1P 445455-23-2P
     445455-24-3P 445455-25-4P 445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (pyrimidine and indolone derivative GAL3 antagonists for treatment of
        neuropathic pain)
RN
     445453-46-3 CAPLUS
CN
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
```

(trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-94-4 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-01-6 CAPLUS

CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445454-97-7P 445455-57-2P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-57-2 CAPLUS

CN 1H-Indole-2, 3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB This invention discloses pyrimidine and indolone derivs. Which are selective antagonists for the GAL3 receptor and are useful for the treatment of neuropathic pain and other abnormalities. The invention also provides a method of treating a subject suffering from an abnormality which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's abnormality. The invention

Patel

also provides a method of treating an abnormality in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. Compound preparation is described.

- L3 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2003:319458 CAPLUS
- DN 138:321291
- TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
- IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
- PA USA
- SO U.S. Pat. Appl. Publ., 265 pp.

CODEN: USXXCO

- DT Patent
- LA English
- FAN.CNT 1

PΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078271	A1	20030424	US 2002-66175	20020131
			US 2001-265586PP	20010131

- OS MARPAT 138:321291
- IT 445453-46-3P 445454-93-3P 445454-94-4P 445454-95-5P 445454-96-6P 445454-97-7P 445454-98-8P 445454-99-9P 445455-00-5P 445455-01-6P 445455-02-7P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-23-2P 445455-24-3P 445455-25-4P

445455-29-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

- RN 445453-46-3 CAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

- RN 445454-93-3 CAPLUS
- CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-94-4 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-01-6 CAPLUS

CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455~05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445455-57-2P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-57-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GΙ

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and

<5/4/2004>

Patel

GalR2, resp.

L3 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:278304 CAPLUS

DN 138:308931

TI Oxidative hair dyes containing aromatic compounds, other dyes and color intensifiers

IN Moeller, Hinrich; Hoeffkes, Horst; Oberkobusch, Doris

PA Henkel K.-G.a.A., Germany

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO	. KIND	DATE	APPLICATION NO.	DATE		
ΡI	DE 101488	45 A1	20030410	DE 2001-10148845	20011004		
	WO 200303	0841 A1	20030417	WO 2002-EP10732	20020925		
	W: A	U, BR, CA, C	N, HU, JP, NO,	PL, RU, US, VN			
	RW: A	T, BE, BG, C	H, CY, CZ, DE,	DK, EE, ES, FI, FR	, GB, GR, IE, IT,		
	Γ	U, MC, NL, P	T, SE, SK, TR				
	DE 2001-10148845A 2001100						

OS MARPAT 138:308931

IT 507224-48-8D, salts 507224-49-9D, salts

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (oxidative hair dyes containing aromatic compds., other dyes and color intensifiers)

RN 507224-48-8 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 507224-49-9 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2-piperidinyl)- (9CI) (CA INDEX NAME)

The invention concerns oxidative hair dyes that contain aromatic compds. other dyes and color intensifiers. The components are selected from the group of primary and secondary aromatic amines, hydroxides, nitrogen-containing heterocycles, amino acids, oligopeptides, CH-acids and quaternary ammonium compds. Thus a dye contained (weight/weight%): Texapon NSO 18.00; Dehyton K 11.25; Hydrenol D 7.65; Lorol 1.80; Eumulgin 0.68; propylene carbonate

8.50; N-allylisatine 1.50; N,N-Bis(2'hydroxyethyl)-p-phenylene diamine sulfate 2.95; ascorbic acid 0.10; sodium sulfite 0.10; ammonia (25%) 4.00;

```
water to 100; pH 9.20.
     ANSWER 6 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
L3
AN
     2003:58072 CAPLUS
DN
     138:122658
ΤI
     Preparation of heterocyclic compounds which interact with
     beta-catenin/TCF-4 binding site
     Moll, Juergen; Knapp, Stefan; Dalvit, Claudio; Trosset, Jean-Yves;
IN
     Sundstrom, Michael; Mantegani, Sergio
PA
     Pharmacia Italia S.p.A., Italy
SO
     PCT Int. Appl., 49 pp.
     CODEN: PIXXD2
     Patent
DT
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                              DATE
     ______
                      ____
                            ------
                                            ______
PΙ
     WO 2003006447
                      A2
                             20030123
                                            WO 2002-EP7536
                                                              20020703
     WO 2003006447
                       A3
                             20031120
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                            EP 2001-202626 A 20010709
     EP 1406889
                                            EP 2002-784844 20020703
                             20040414
                       Α2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                            EP 2001-202626 A 20010709
                                            WO 2002-EP7536 W 20020703
OS
     MARPAT 138:122658
IT
     489430-79-7
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (preparation of heterocyclic compds. which interact with beta-catenin/TCF-4
        binding site)
     489430-79-7 CAPLUS
RN
CN
     2-Furancarboxaldehyde, 5-methyl-, (2Z)-[1,2-dihydro-2-oxo-1-(4-pyridinyl)-
     3H-indol-3-ylidene]hydrazone (9CI) (CA INDEX NAME)
```

Double bond geometry as described by E or Z.

AB This document discloses a pharmacophore (IA), characterized by a structure which comprises: (a) a saturated, partially saturated, carbocyclic or heteroarom.

carbocyclic, or heteroarom. pentat. ring (A), substituted at least by a substituent (Z) selected independently from hydrogen, halogen, etc., (b) an optionally substituted, saturated, partially saturated, carbocyclic, aromatic, or

internally condensed ring (B); rings (A) and (B) being separated by a spacer (Y). This document also discloses a screening method for identifying a candidate drug for use in familial adenomatous polyposis patients, patients with APC or beta-catenin mutations, or patients with increased risk of developing cancer. A compound of this invention has been identified to bind strongly to beta-catenin and reduced TCF-4 affinity for beta-catenin about 10-fold. Formulations are given.

L3 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:3716 CAPLUS

DN 138:338392

TI Synthesis and antiviral evaluation of isatin ribonucleosides

AU De Oliveira, Mara R. P.; Torres, Jose C.; Garden, Simon J.; Dos Santos, Carla Veronica B.; Alves, Thatyana Rocha; Pinto, Angelo C.; Pereira, Helena de S.; Ferreira, Luiz Roberto Leao; Moussatche, Nissin; Frugulhetti, Izabel Christina de P. P.; Ferreira, Vitor F.; De Souza, Maria Cecilia B. V.

CS Universidade Federal do Rio de Janeiro, Instituto de Quimica, Departamento de Quimica Organica, Ilha do Fundao, Rio de Janeiro, CEP 21945-970, Brazil

SO Nucleosides, Nucleotides & Nucleic Acids (2002), 21(11 & 12), 825-835 CODEN: NNNAFY; ISSN: 1525-7770

PB Marcel Dekker, Inc.

DT Journal

LA English

OS CASREACT 138:338392

IT 57577-40-9P 515114-20-2P 515114-21-3P 515114-22-4P 515114-23-5P 515114-24-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antiviral evaluation of isatin ribonucleosides prepared via TMSOTf catalyzed coupling between silylated nitrogenated base and acetyl-tri-O-benzoyl- β -D-ribofuranose)

RN 57577-40-9 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 515114-20-2 CAPLUS

CN 1H-Indole-2,3-dione, 5-methyl-1-(2,3,5-tri-O-benzoyl- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 515114-21-3 CAPLUS

CN 1H-Indole-2,3-dione, 5-fluoro-1-(2,3,5-tri-O-benzoyl-β-Dribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 515114-22-4 CAPLUS

CN 1H-Indole-2,3-dione, 5-chloro-1-(2,3,5-tri-0-benzoyl- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 515114-23-5 CAPLUS

Absolute stereochemistry.

RN 515114-24-6 CAPLUS

CN lH-Indole-2,3-dione, 4,6-dibromo-1-(2,3,5-tri-O-benzoyl- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

A series of novel substituted isatin ribonucleosides were synthesized in AB good yields by a TMSOTf catalyzed coupling reaction between the silylated nitrogenated base and 1-0-acetyl-2,3,5-tri-0-benzoyl-β-Dribofuranose. Isatin nucleoside 2,3-dihydro-1-(2,3,5-tri-0-benzoyl- β -D-ribofuranosyl)indole-2,3-dione, which was previously reported, was also prepared using this method giving high yield. From the compds. tested, 4,6-dibromo-2,3-dihydro-1-(2,3,5-tri-O-benzoyl-β-Dribofuranosyl)indole-2,3-dione proved to be the most active one when assayed for antiviral activity on HSV-1 infected cells, leading to 66% of inhibition of virus yield. None of the isatin derivs. tested inhibited HIV-1 Reverse Transcriptase (RT) activity.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 8 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
L3
```

2002:793361 CAPLUS ΑN

DN 137:310810

Preparation of indole and other fused heterocyclic inhibitors of factor Xa ΤI useful for treating/preventing thromboembolic disorders

Jacobson, Irina C.; Quan, Mimi L.; Wexler, Ruth R. IN

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DTPatent

LA English

FAN.CNT 1

```
PATENT NO.
                          KIND
                                 DATE
                                                   APPLICATION NO.
                                                                        DATE
                                 20021017
                                                   WO 2002-US10891 20020408
PΙ
     WO 2002080853
                           A2
     WO 2002080853
                           A3.
                                 20030227
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
               CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
               BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                    US 2001-282438PP 20010409
     US 2003087909
                           A1
                                  20030508
                                                    US 2002-118102
                                                                        20020408
                                                    US 2001-282438PP 20010409
```

OS MARPAT 137:310810

Patel <5/4/2004> IT 471909-06-5P, 1-[1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-5-methoxy-1H-indole-2,3-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole and other fused heterocyclic inhibitors of factor Xa useful for treating/preventing thromboembolic disorders)

RN 471909-06-5 CAPLUS

1H-Indole-2,3-dione, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-5-methoxy- (9CI) (CA INDEX NAME)

GI

CN

AB This invention relates generally to a novel class of fused heterocyclic compds. (shown as I and II; e.g. 1-[[1-(3-fluoro-2'-methylsulfonyl)[1,1'biphenyl]-4-yl]-2-oxo-3-piperidinyl]-1H-indole-6-carbonitrile) or pharmaceutically acceptable salt forms thereof, which are inhibitors of trypsin-like serine protease enzymes, especially factor Xa, pharmaceutical compns. containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders. Some compds. of this invention were evaluated and found to exhibit a Ki of \leq 10 μ M, thereby confirming the utility of the compds. of the present invention as effective thrombin inhibitors. Although the methods of preparation are not claimed, .apprx.15 example prepns. are included. In I and II, ring D, including the two atoms of ring E to which it is attached, is a 5-6 membered nonarom. ring consisting of C atoms, 0-1 double bonds, and 0-2 heteroatoms N, O, and S(0)p, and ring D is substituted with 0-2R1, provided that when ring D is unsubstituted, it consists of at least

<5/4/2004>

Patel

one heteroatom; alternatively, ring ${\tt D}$, including the two atoms of ring ${\tt E}$ to which it is attached, is a 5-6 membered aromatic system consisting of C atoms and 0-2 heteroatoms N, O, and S(0)p, and ring D is substituted with 0-2 R1, provided that when ring D is unsubstituted, it consists of at least one heteroatom. E is selected from Ph, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, and is substituted with 0-1 R1; alternatively, ring D is absent and ring E is selected from Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, thiazolyl, thienyl and triazolyl, and ring E is substituted with 0-2 Ra; Ra is selected from H, C1-4 alkyl, F, Cl, Br, I, OH, OCH3, OCH2CH3, OCH(CH3)2, OCH2CH2CH3, CN, C(:NR8)NR7R9, NHC(:NR8)NR7R9, NR8CH(:NR7), C(O)NR7R8, (CR8R9)tNR7R8, SH, SCH3, SCH2CH3, SCHMe2, SCH2CH2CH3, S(0)R3b, S(0)2R3a, S(0)2NR2R2a, and OCF3; alternatively, two Ras combine to form methylenedioxy or ethylenedioxy. Alternatively, ring D is absent and ring E is selected from Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, and thienyl, and ring E is substituted with 1 R and with a 5-6 membered aromatic heterocycle consisting of: C atoms and 1-4 heteroatoms N, O, and S(O)p substituted with 0-1 carbonyl groups and 0-2 R1. Ring F completes a 5-7 membered heterocycle consisting of C atoms, 1-3 heteroatoms N, NH, O, and $-S(0)p_{-}$, 0-2 addnl. double bonds, and 0-2 carbonyl groups, provided that other than a 0-0, 0-S, or S-S bond is present in the ring and ring F is substituted with 0-1 R4c. Ring G completes a 5-7 membered nonarom. heterocycle consisting of C atoms, 1-3 heteroatoms N, NZ, O, and S(O)p, 0-2 double bonds, and 0-3 carbonyl groups, and ring G is substituted with 0-2 Rla, provided that other than a O-O, O-S, or S-S bond is present in ring G. Z is selected from H, S(0) 2NHR3, C(0) R3, C(0) NHR3, C(0) OR3f, S(0) R3f, S(0) 2R3f, C1-6 alkyl substituted with 0-2 R1a; C2-6 alkenyl substituted with 0-2 R1a; C2-6 alkynyl substituted with 0-2 R1a; -(C0-4 alkyl)-C3-10-carbocycle substituted with 0-3 R1a; -(C0-4 alkyl)-5-12 membered-heterocycle substituted with 0-3 R1a. G1 is selected from C, CH, and N; G2 is selected from CH, CH2, C(0), O, S(0)p, N, and NH; G3 is selected from C, CH, and N; A is selected from C3-10 carbocycle substituted with 0-2 R4, and 5-12 membered heterocycle consisting of C atoms and from 1-4 heteroatoms N, O, and S and substituted with 0-2 R4; B is selected from: Y, X-Y, (CH2)0-2C(0)NR2R2a, (CH2)0-2NR2R2a, C(:NR2)NR2R2a, and NR2C(:NR2)NR2R2a, provided that G3 and B are attached to different atoms on A. X is selected from -(CR2R2a)1-4-, -CR2(CR2R2b)(CH2)t-, -C(O)-, -C(:NR1c)-, -CR2(NR2R2a)-, -CR2(OR2)-, -CR2(SR2)-, -C(0)CR2R2a-, -NR2S(O)2CR2R2a-, -CR2R2aS(O)2NR2-, -NR2S(O)2NR2-, -C(O)NR2-, -NR2C(O)-, -C(O)NR2CR2R2a-, -NR2C(O)CR2R2a-, -CR2R2aC(O)NR2-, -CR2R2aNR2C(O)-, -NR2C(0)0-, -OC(0)NR2-, -NR2C(0)NR2-, -NR2-, -NR2CR2R2a-, -CR2R2aNR2-, 0, -CR2R2aO-, and -OCR2R2a-. Y is selected from -(CH2)rNR2R2a; C3-10 carbocycle substituted with 0-2 R4a; and 5-10 membered heterocycle consisting of C atoms and from 1-4 heteroatoms N, O, and S and substituted with 0-2 R4a; provided that X-Y do not form a N-N, O-N, or S-N bond; V is selected from C, CH, and N; U is a bond or is selected from CHR3b, C(O), O, S(0)p, NR3b, C(0)NR3, NR3C(0), C(0)CH2, CH2C(0), S(0)pNR3, NR3S(0)p, OCH2, CH2O, NR3bCH2, and CH2NR3b; provided that when ring D is absent, U is other than a bond; W is a bond or is selected from CHR3b, C(O), O, S(O)p, NR3b, C(O)NR3, NR3C(O), C(O)CH2, CH2C(O), S(O)pNR3, NR3S(O)p, OCH2, CH2O, NR3bCH2, and CH2NR3b; provided that when ring D is absent, W is a bond. Variables in I and II not defined above are defined in the claims.

L3 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN AN 2002:594639 CAPLUS

```
DN
     137:154941
     Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3
ΤI
     receptor antagonists for the treatment of depression and/or anxiety
     Blackburn, Thomas P.; Konkel, Michael
IN
PA
     Synaptic Pharmaceutical Corporation, USA
     PCT Int. Appl., 832 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
     ______
                      ____
                           _____
                                           ______
     WO 2002060392
                      A2
                            20020808
                                           WO 2002-US4608
                                                            20020131
PΙ
                      A3
     WO 2002060392
                            20030925
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-775341 A 20010131
     EP 1363638
                            20031126
                                           EP 2002-714918
                                                            20020131
                       A2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           US 2001-775341 A 20010131
                                           WO 2002-US4608 W 20020131
     NO 2003003388
                            20030924
                                           NO 2003-3388
                                                            20030729
                       Δ
                                           US 2001-775341 A 20010131
                                           WO 2002-US4608 W 20020131
OS
     MARPAT 137:154941
IT
     445453-46-3P 445454-93-3P 445454-94-4P
     445454-95-5P 445454-96-6P 445454-97-7P
     445454-98-8P 445454-99-9P 445455-00-5P
     445455-01-6P 445455-02-7P 445455-03-8P
     445455-04-9P 445455-05-0P 445455-06-1P
     445455-23-2P 445455-24-3P 445455-25-4P
     445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor
        antagonists for the treatment of depression and/or anxiety)
RN
     445453-46-3 CAPLUS
CN
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
     (trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)
```

Patel <5/4/2004>

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-94-4 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-01-6 CAPLUS

CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

IT 445455-57-2P.445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-57-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un) substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un) substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L3 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

2002:130854 CAPLUS AN

137:337741 DN

A new series of 1-heterocyclic aminomethyl-3- $\{4'-(2'',4''-$ TI Dichlorobenzyloxy) -benzoyl hydrazono}-2-indolinones

ΑU Varma, R. S.; Rastogi, Nisheeth

CS Department of Chemistry, Lucknow University, Lucknow, 226 007, India

SO Indian Journal of Heterocyclic Chemistry (2001), 11(2), 123-126 CODEN: IJCHEI; ISSN: 0971-1627

PΒ Prof. R. S. Varma

DT Journal

LΑ English

IT 474104-44-4P 474104-46-6P 474104-48-8P 474104-50-2P 474104-51-3P 474104-52-4P 474104-53-5P 474104-54-6P 474104-55-7P 474104-56-8P 474104-57-9P 474104-58-0P 474104-59-1P 474104-60-4P 474104-61-5P 474104-62-6P 474104-63-7P 474104-64-8P 474104-65-9P 474104-66-0P 474104-67-1P

> 474104-68-2P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of heterocyclic aminomethyl { (dichlorobenzyloxy) benzoyl hydrazono}indolinones via condensation of dichlorobenzyloxybenzoyl hydrazine with substituted isatins and subsequent N-alkylation under Mannich reaction conditions)

RN474104-44-4 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [1,2-dihydro-1-(4morpholiny1)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

Page 47

RN 474104-46-6 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [1,2-dihydro-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-48-8 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [1,2-dihydro-1-(4-methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-50-2 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [5-bromo-1,2-dihydro-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-51-3 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [5-bromo-1,2-dihydro-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ N-NH-C & & \\ \end{array}$$

RN 474104-52-4 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [5-bromo-1,2-dihydro-1-(4methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C1} \\ \end{array}$$

RN 474104-53-5 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [1,2-dihydro-5-methyl-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-54-6 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [1,2-dihydro-5-methyl-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N-NH-C & & & \\ \end{array}$$

RN 474104-55-7 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [1,2-dihydro-5-methyl-1-(4-methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text$$

RN 474104-56-8 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [5-chloro-1,2-dihydro-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

Page 50

RN 474104-57-9 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [5-chloro-1,2-dihydro-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ N-NH-C & & \\ \end{array}$$

RN 474104-58-0 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-; [5-chloro-1,2-dihydro-1-(4-methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{NH} \\ \\ \text{Cl} \\ \end{array}$$

RN 474104-59-1 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [4-chloro-1,2-dihydro-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

Page 51

RN 474104-60-4 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [4-chloro-1,2-dihydro-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 474104-61-5 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [4-chloro-1,2-dihydro-1-(4-methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{NH} \\ \\ \text{Cl} \end{array}$$

RN 474104-62-6 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [6-chloro-1,2-dihydro-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-63-7 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [6-chloro-1,2-dihydro-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-64-8 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [6-chloro-1,2-dihydro-1-(4-methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C} \\ \text$$

RN. 474104-65-9 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [4-bromo-1,2-dihydro-5-methoxy-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-66-0 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [4-bromo-1,2-dihydro-5-methoxy-2-oxo-1-(1-piperidinyl)-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-67-1 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [4-bromo-1,2-dihydro-5-methoxy-1-(4-methyl-1-piperazinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

RN 474104-68-2 CAPLUS

CN Benzoic acid, 4-[(2,4-dichlorophenyl)methoxy]-, [7-bromo-1,2-dihydro-5-methyl-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

GI

AB 3-{4'-(2",4"-Dichlorobenzyloxy)-benzoylhydrazono}-2 -indolinones, e.g., I, were synthesized by the condensation of 4-(2',4'-dichlorobenzyloxy)-benzoyl hydrazine and substituted isatins in the presence of ethanol/AcOH. Mannich reaction in the presence of formaldehyde and heterocyclic secondary amines on indolinones furnished aminomethylated indolinones. The structures of the newly synthesized compds. were established on the basis of elemental anal., IR, NMR and Mass spectral data.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1999:310148 CAPLUS
- DN 131:44891
- TI Phosphorylation of isatin with o-phenylene phosphorochloridite
- AU Akhmetova, G. Z.; Gurevich, P. A.; Moskva, V. V.
- CS Kazan State Technological University, Kazan, Russia
- SO Russian Journal of General Chemistry (Translation of Zhurnal Obshchei

Patel

Page 55

Khimii) (1998), 68(12), 1970-1971 CODEN: RJGCEK; ISSN: 1070-3632

PB MAIK Nauka/Interperiodica Publishing

DT Journal

LA English

IT 227470-79-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(phosphorylation of isatin with o-phenylene phosphorochloridite)

RN 227470-79-3 CAPLUS

CN 1H-Indole-2,3-dione, 1-(1,3,2-benzodioxaphosphol-2-yl)- (9CI) (CA INDEX NAME)

IT 227470-80-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (phosphorylation of isatin with o-phenylene phosphorochloridite)

RN 227470-80-6 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2-oxido-1,3,2-benzodioxaphosphol-2-yl)- (9CI) (CA INDEX NAME)

AB The title reaction in the presence of Et3N followed by oxidation gave 85% $1-(2-oxo-1,3,2\lambda5-benzodioxaphosphol-2-yl)indoline-2,3-dione.$ The title reaction in the absence of Et3N followed by oxidation gave 78% 3-chloro-3-(1,3,2-benzodioxaphosphol-2-yloxy)indolin-2-one.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:682229 CAPLUS

DN 129:302552

TI Preparation of 1,4-disubstituted cyclic amine derivatives as serotonin antagonists

IN Kitazawa, Noritaka; Ueno, Kohshi; Takahashi, Keiko; Kimura, Teiji; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Komatsu, Makoto; Matsunaga, Manabu; Kubota, Atsuhiko

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 635 pp.

CODEN: PIXXD2

DT Patent

<5/4/2004>

Patel

LA	Japanese			•
FAN.	CNT 1 PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI		A1 19981008 CN, HU, JP, KR, MX,	FR, GB, GR, IE, IT	19980331 , LU, MC, NL, PT, SE
	AU 9865209 AU 748038	A1 19981022 B2 20020530	JP 1997-98433 A JP 1997-366764 A AU 1998-65209	19971226
	ZA 9802707	A 19991020	JP 1997-98433 A JP 1997-366764 A WO 1998-JP1481 W ZA 1998-2707	19971226 19980331
	EP 976732	A1 20000202	JP 1997-98433 A EP 1998-911137	
				, NL, SE, PT, IE, FI 19970331 19971226
	NZ 337651	A 20020426		19980331 19970331 19971226
	RU 2203275	C2 20030427	RU 1999-123039 JP 1997-98433 A JP 1997-366764 A	19980331 19970331 19971226
	US 6448243	B1 20020910	WO 1998-JP1481 W US 1999-367227 JP 1997-98433 A JP 1997-366764 A	19990811 19970331 19971226
	NO 9904720	A 19991130	WO 1998-JP1481 W NO 1999-4720 JP 1997-98433 A JP 1997-366764 A	19990928 19970331 19971226
	US 2002086999	A1 20020704	WO 1998-JP1481 W US 2001-846259 JP 1997-98433 A JP 1997-366764 A	20010502 19970331
	US 2002019531 US 6579881	A1 20020214 B2 20030617	WO 1998-JP1481 W US 1999-367227 A US 2001-859517 JP 1997-98433 A	319990811 20010518
	,		JP 1997-366764 A WO 1998-JP1481 W US 1999-367227 A	19980331
OS	MARPAT 129:302552 214616-10-1P 214617-83-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 1,4-disubstituted cyclic amine derivs. as serotonin			
RN CN	antagonists) 214616-10-1 CAPLUS 1H-Indole-2,3-dione, 6-methoxy-1-[1-(phenylmethyl)-4-piperidinyl]- (9CI)			

(CA INDEX NAME)

RN 214617-83-1 CAPLUS

CN 1H-Indole-2,3-dione, 6-methoxy-1-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

IT 214616-03-2P 214617-77-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

RN 214616-03-2 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 214617-77-3 CAPLUS

CN 1H-Indole-2,3-dione, 1-[1-[2-(4-fluorophenyl)ethyl]hexahydro-1H-azepin-4-yl]-6-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

GΙ

AB The title compds. (I; A, B, C, D, T, Y, and Z each represents a methine group or a nitrogen atom; R1, R2, R3, R4, and R5 each represents a substituent, such as halo, OH, hydroxyalkoxy, lower alkyl, etc.; n is an integer of 0 to 3; m is an integer of 0 to 6; and p is an integer of 1 to 3; dotted bond represents a single or double bond) are prepared I have serotonin antagonism and serve as drugs for the treatment, alleviation and prevention of spastic paralysis or a central muscle relaxant for alleviating myotonia. Thus, indoline was reacted with 1-(4-fluorophenyl)-4-piperidone in the presence of NaB(OAc)3 in AcOH and dichloroethane to give 63% the title compound (II), which showed binding activity of 623.94 and > 200 nM for 5HT1a and 5HT2 resp.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1997:753496 CAPLUS
- DN 128:109927
- Platinum(II) and palladium(II) complexes derived from the monoanion of isatin (2,3-dihydroindole-2,3-dione, Hisat); crystal structure of cis-[Pt(isat)2(PPh3)2]
- AU Law, Justin M.; Henderson, William; Nicholson, Brian K.
- CS Department of Chemistry, University of Waikato, Hamilton, N. Z.
- SO Journal of the Chemical Society, Dalton Transactions: Inorganic Chemistry (1997), (23), 4587-4594 CODEN: JCDTBI; ISSN: 0300-9246
- PB Royal Society of Chemistry
- DT Journal
- LA English
- IT 201276-94-0P
 - RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and electrospray mass spectra of)
- RN 201276-94-0 CAPLUS
- CN Platinum, [1,2-ethanediylbis[diphenylphosphine-κP]]bis(1H-indole-2,3-dionato-κN1)-, (SP-4-2)- (9CI) (CA INDEX NAME)

IT 201276-95-1P 201276-96-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 201276-95-1 CAPLUS

CN Platinum, bis(1H-indole-2,3-dionato-κN1)[1,3-propanediylbis[diphenylphosphine-κP]]-, (SP-4-2)- (9CI) (CA INDEX NAME)

RN 201276-96-2 CAPLUS

CN Platinum, (2,2'-bipyridine- κ N1, κ N1')bis(1H-indole-2,3-dionato- κ N1)-, (SP-4-2)- (9CI) (CA INDEX NAME)

AB A number of Pt(II) and Pd(II) complexes containing the monoanion of isatin (2,3-dihydroindole-2,3-dione, Hisat) were synthesized by reaction of the metal halide complex with isatin in the presence of NEt3. The complexes were characterized by NMR and IR spectroscopies and elemental anal. A single-crystal x-ray diffraction study was carried out on cis-[Pt(isat)2(PPh3)2], which shows two cis-isat ligands with their dicarbonyl functions pointing in opposite directions. Electrospray mass spectrometry was also used for characterization; the complexes show a strong tendency to form aggregate ions with ammonium ions, and both monoand di-cationic species are observed

L3 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:531019 CAPLUS

DN 117:131019

TI Synthesis of 4-hydroxycoumarin derivatives with anticipated biological activity

AU Nofal, Z. M.; Mandour, A. H.; Nassar, M. I.

CS Natl. Res. Cent., Cairo, Egypt

SO Egyptian Journal of Chemistry (1991), Volume Date 1990, 33(6), 509-17 CODEN: EGJCA3; ISSN: 0367-0422

DT Journal

LA English

IT 143367-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and bactericidal and fungicidal properties of)

RN 143367-24-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(4-hydroxy-2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA INDEX NAME)

AB 4-Hydroxycoumarins were prepared and tested as bactericides and fungicides. E.g., reaction of 4-hydroxycoumarin-3-sulfonamide with aldehydes gave Schiff bases, e.g., I. Reactions of 3-bromo-4-hydroxycoumarin (II) with primary and secondary amines, ethylenediamine, thiols, etc., also were used to prepare the title compds. E.g., reaction of II with piperidine in dioxane/Et3N gave III. Several of the title compds., e.g., I, III, showed high antibacterial and antifungal properties.

L3 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:478298 CAPLUS

DN 113:78298

TI Synthesis of some new 3-substituted 1,2,4-triazinoindole derivatives and related compounds of potential antifungal activity

AU Abdel Rahman, R. M.; El Gendy, Z.; Mahmoud, M. B.

CS Fac. Educ., Ain Shams Univ., Cairo, Egypt

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990), 29B(4), 352-8 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS · CASREACT 113:78298

IT 128649-49-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and fungicidal activity of)

RN 128649-49-0 CAPLUS

CN 1H-Indole-2,3-dione, 1-(1,2,3,6-tetrahydro-3-thioxo-1,2,4-triazin-5-yl)-(9CI) (CA INDEX NAME)

GI

AB In a search for new fungicidal agents 3-substituted 1,2,4-triazino[5,6-b]indoles, 3-substituted 1,2,4-triazino[6,5-b]indoles, 4,10-dihydro[1,2,4]triazino[4,3-a]indole and 2,3,4,10-tetrahydro[1,2,4]triazino[4,3-a]indole have been prepared and characterized by their elemental anal., UV, IR and PMR spectral data. The antifungal activity of some of them has been determined both in vitro and in vivo against the fungus Aspergillus niger using benomyl as standard Compound I shows a high antifungal activity equivalent to that of the standard (benomyl).

Si A

ANSWER 16 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:178540 CAPLUS

DN 112:178540

TI Synthesis and biological activities of new indole derivatives containing sulfide and/or sulfone moieties. Part I

AU El-Ezbawy, Samia R.; Abdel-Wahab, Aboel Magd A.

CS Fac. Sci., Assiut Univ., Assiut, Egypt

SO Phosphorus, Sulfur and Silicon and the Related Elements (1989), 44(3-4), 285-9

CODEN: PSSLEC; ISSN: 1042-6507

DT Journal

LA English

OS CASREACT 112:178540

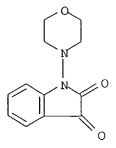
IT 126592-64-1, 1-Morpholinoisatin

RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation of, with aminophenyl nitrophenyl sulfides)

RN 126592-64-1 CAPLUS

CN 1H-Indole-2,3-dione, 1-(4-morpholinyl)- (9CI) (CA INDEX NAME)



IT 126592-73-2P 126592-74-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

ANSWER 16 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN 1990:178540 CAPLUS DN 112:178540 Synthesis and biological activities of new indole derivatives containing ΤI sulfide and/or sulfone moieties. Part I El-Ezbawy, Samia R.; Abdel-Wahab, Aboel Magd A. ΑU CS Fac. Sci., Assiut Univ., Assiut, Egypt SO Phosphorus, Sulfur and Silicon and the Related Elements (1989), 44(3-4), 285-9 CODEN: PSSLEC; ISSN: 1042-6507 DTJournal LΑ English OS CASREACT 112:178540 IT 126592-64-1, 1-Morpholinoisatin RL: RCT (Reactant); RACT (Reactant or reagent) (condensation of, with aminophenyl nitrophenyl sulfides) RN126592-64-1 CAPLUS CN 1H-Indole-2,3-dione, 1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

IT 126592-73-2P 126592-74-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

Patel

<5/4/2004>

Page 63

(preparation and antibacterial activity of)

RN 126592-73-2 CAPLUS

10723961.8

CN 2H-Indol-2-one, 3-[[4-[(2,5-dinitrophenyl)thio]phenyl]imino]-1,3-dihydro-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 126592-74-3 CAPLUS

CN 2H-Indol-2-one, 3-[[4-[(5-chloro-2-nitrophenyl)thio]phenyl]imino]-1,3-dihydro-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

IT 126592-75-4P 126592-76-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 126592-75-4 CAPLUS

CN 2H-Indol-2-one, 3-[[4-[(5-bromo-2-nitrophenyl)thio]phenyl]imino]-1,3-dihydro-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN

126592-76-5 CAPLUS
2H-Indol-2-one, 1,3-dihydro-1-(4-morpholinyl)-3-[[4-[(4-nitrophenyl)thio]phenyl]imino]- (9CI) (CA INDEX NAME) CN

GI

$$CH = N - X - R^{1}$$

$$R^{2}$$

ΙI

.10723961.8

Page 65

AB 2,4,5-RR1R2C6H2XC6H4NH2-4 (R,R1 = H, NO2; R2 = NO2, C1, Br, H; X = S, SO2) react with isatin, N-acetylisatin, isatin-N-Mannich bases, indole-3-carboxaldehyde and N-substituted indole-3-carboxaldehyde producing the corresponding indole derivs. I (R3 = H, MeCO) and II [R3 = H, 2,4-(O2N)2C6H3, 4-O2NC6H4CO]. A screen of these compds. for antibacterial activity showed most of the tested compds. possessed strong activity aganist a variety of bacteria.

AB 2,4,5-RR1R2C6H2XC6H4NH2-4 (R,R1 = H, NO2; R2 = NO2, Cl, Br, H; X = S, SO2) react with isatin, N-acetylisatin, isatin-N-Mannich bases, indole-3-carboxaldehyde and N-substituted indole-3-carboxaldehyde producing the corresponding indole derivs. I (R3 = H, MeCO) and II [R3 = H, 2,4-(O2N)2C6H3, 4-O2NC6H4CO]. A screen of these compds. for antibacterial activity showed most of the tested compds. possessed strong activity aganist a variety of bacteria.

L3 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:141230 CAPLUS

DN 112:141230

TI Novel dyestuffs containing dicyanomethylidene groups

AU Katritzky, Alan R.; Fan, Wei Qiang; Liang, De Sheng; Li, Qiao Ling

CS Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA

SO Journal of Heterocyclic Chemistry (1989), 26(6), 1541-5

CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 112:141230

IT 125941-73-3

RL: USES (Uses)

(condensation of, with malononitrile)

RN 125941-73-3 CAPLUS

CN 1H-Indole-2,3-dione, 1-(1H-benzotriazol-1-yl)- (9CI) (CA INDEX NAME)

GΙ

AB Several series of novel compds. were prepared containing dicyanomethylidene groups including 1-substituted-3-(dicyanomethylidene)-2-indolones (I; R = H, Me, Pr, hexyl, benzyl, 1-phenylethyl, 1-benzotrtiazolylmethyl) and 6,6-dicyanofulvenes. Their visible absorption properties were recorded and discussed. I were prepared from CH2(CN)2 and the appropriate isatin derivs.

L3 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

Patel

10723961.8

Page 66

AN 1988:630160 CAPLUS

DN 109:230160

TI Dielectric relaxation of some newly synthesized aromatic compounds from microwave absorption measurements

AU Hanna, Faika F.; Abd-El-Nour, Kamal N.; Abd El Messieh, Salwa L.; Kassim, Emad

CS Natl. Res. Cent., Cairo, Egypt

SO Fizika (Zagreb) (1987), 19(3), 255-62 CODEN: FZKAAA; ISSN: 0015-3206

DT Journal

LA English

IT 114371-63-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and dielec. relaxation of)

RN 114371-63-0 CAPLUS

CN Hydrazinecarbothioamide, 2-[1-(3,4-dihydro-4-oxo-3-phenyl-1-phthalazinyl)-1,2-dihydro-2-oxo-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

- AB The dielec. loss of five phthalazine derivs. was determined in C6H6 in the microwave region between 0.3 and 15 GHz at 20°. The results were interpreted in terms of dipole reorientation by mol. and intermol. rotation. For 3 of the phthalazine derivs., a linear relation was found between antifungal activity and intramol. orientation.
- L3 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN .1988:590175 CAPLUS
- DN 109:190175
- TI Some reactions with 2(3)-indolone derivatives
- AU Abdel-Rahman, R. M.; Abdel-Halim, A. M.; Ibrahim, S. S.; Mohamed, E. A.

CS Fac. Educ., Ain Shams Univ., Cairo, Egypt

- SO Journal of the Chemical Society of Pakistan (1987), 9(4), 523-37 CODEN: JCSPDF; ISSN: 0253-5106
- DT Journal
- LA English
- OS CASREACT 109:190175
- IT 116957-62-1P

RN 116957-62-1 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2,5-dihydro-5-thioxo-1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

GI

AB Isatin condensation products I [R1 = CH2CH2OH, 4-pyridyl, 2-O2NC6H4, 4-BrC6H4, NH2, PhCH:CHCH:N, C(:NH)NHCN, 4-AcNHC6H4SO2NH, MeCONH, PhCONH] were prepared A mixture of isatin and 2-O2NC6H4NH2 in EtOH was heated to give I (R1 = 2-O2NC6H4).

L3 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:510386 CAPLUS

DN 109:110386

TI Unusual formation of new indole-containing heterocyclic ring systems

AU Black, David S. C.; Chaichit, Narongsak; Gatehouse, Bryan M.; Moss, G. Ian

CS Sch. Chem., Univ. New South Wales, Kensington, 2033, Australia

SO Australian Journal of Chemistry (1987), 40(12), 1965-77 CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

OS CASREACT 109:110386

IT 115046-40-7

RL: RCT (Reactant); RACT (Reactant or reagent) (cyclocondensation reactions of, with ammonia and alcs.)

RN 115046-40-7 CAPLUS

CN 2H-[1,3]Oxazino[3,2-a]indole-2,4,10(3H,10aH)-trione, 10a-(2,3-dihydro-2,3-dioxo-1H-indol-1-yl)-3,3-dimethyl- (9CI) (CA INDEX NAME)

```
1988:590175 CAPLUS
     109:190175
DN
     Some reactions with 2(3)-indolone derivatives
TI
     Abdel-Rahman, R. M.; Abdel-Halim, A. M.; Ibrahim, S. S.; Mohamed, E. A.
AU
     Fac. Educ., Ain Shams Univ., Cairo, Egypt
CS
     Journal of the Chemical Society of Pakistan (1987), 9(4), 523-37
SO
     CODEN: JCSPDF; ISSN: 0253-5106
DT
     Journal
LΑ
     English
OS
     CASREACT 109:190175
IT
     116957-62-1P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     116957-62-1 CAPLUS
CN
     1H-Indole-2,3-dione, 1-(2,5-dihydro-5-thioxo-1H-1,2,4-triazol-3-yl)- (9CI)
```

GI

AN

Isatin condensation products I [R1 = CH2CH2OH, 4-pyridyl, 2-O2NC6H4, AB 4-BrC6H4, NH2, PhCH: CHCH: N, C(:NH) NHCN, 4-ACNHC6H4SO2NH, MeCONH, PhCONH] were prepared A mixture of isatin and 2-O2NC6H4NH2 in EtOH was heated to give I (R1 = 2-O2NC6H4).

GΙ

- The oxazinoindoletrione I underwent reaction with aqueous NH3 in MeOH or EtOH to give the polycyclic Me or Et esters II (R = Me, Et) in 45-67% yields. Reaction of trione I with gaseous NH3 in dry EtOH gave aminobenzodiazepinone III (R1 = NH2). This compound lost NH3 on heating in PhMe, and in the presence of MeOH or EtOH gave the Me or Et derivs. III (R1 = OMe, OEt). The structures of compds. II (R = Me) and III (R1 = NH2, OMe) were established by x-ray crystallog.
- L3 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1988:454604 CAPLUS
- DN 109:54604
- TI Metal template reactions. XXV. N-Acylisatin precursors for the synthesis of malonamido macrocyclic metal complexes
- AU Black, David S. C.; Chaichit, Narongsak; Gatehouse, Bryan M.; Moss, G. Ian
- CS Sch. Chem., Univ. New South Wales, Kensington, 2033, Australia
- SO Australian Journal of Chemistry (1987), 40(10), 1745-54 CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

OS CASREACT 109:54604

IT 115046-40-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, x-ray anal., and reaction of)

RN 115046-40-7 CAPLUS

CN 2H-[1,3]Oxazino[3,2-a]indole-2,4,10(3H,10aH)-trione, 10a-(2,3-dihydro-2,3-dioxo-1H-indol-1-yl)-3,3-dimethyl- (9CI) (CA INDEX NAME)

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Reaction of sodium isatide with dimethylmalonyl dichloride yielded the oxazinoindole (I) rather than the expected product (II). The glyoxylamide (III), which served as a precursor to a macrocyclic complex, was prepared from IV, utilizing a benzyloxycarbonyl-protected isatin. The structure of I was established by x-ray crystallog.
- L3 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:201590 CAPLUS

DN 108:201590

- TI Relation between dipole moment and biological activity of some new aromatic compounds
- AU Hanna, F. F.; Abd-El-Nour, K. N.; Abdel-Hamid, M. M.; Kassim, E.

CS Natl. Res. Cent., Cairo, Egypt

SO Indian Journal of Pure and Applied Physics (1987), 25(12), 510-11 CODEN: IJOPAU; ISSN: 0019-5596

DT Journal

LA English

IT 114371-63-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of, dipole moment in relation to)

RN 114371-63-0 CAPLUS

CN Hydrazinecarbothioamide, 2-[1-(3,4-dihydro-4-oxo-3-phenyl-1-phthalazinyl)-1,2-dihydro-2-oxo-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

IT 114371-66-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with malonic acid)

RN 114371-66-3 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3,4-dihydro-4-oxo-3-phenyl-1-phthalazinyl)- (9CI) (CA INDEX NAME)

AB A quick method to test the biol. activity of some organic compds. on fungi is established. Five new organic substances were synthesized. The static permittivity of these compds. was measured and their dipole moment calculated The biol. activity on Aspergillus niger was determined using the cup-plate agar method. A linear relation between the dipole moment of the compds. and their activity was found.

L3 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1985:113811 CAPLUS

DN 102:113811

TI Synthesis and study of derivatives of 5-bromo-, 6-nitro-, and 5-bromo-6-nitro-1-glycosylisatins

AU Ektova, L. V.; Tolkachev, V. N.; Yartseva, I. V.; Paramonova, T. D.; Lesnaya, N. A.; Sof'ina, Z. P.; Marennikova, S. S.; Chekunova, E. V.; Preobrazhenskaya, M. N.

CS Vses. Onkol. Nauchn. Tsentr., Moscow, USSR

SO Khimiko-Farmatsevticheskii Zhurnal (1984), 18(7), 776-85 CODEN: KHFZAN; ISSN: 0023-1134

DT Journal

```
LΑ
     Russian
IT
     92592-83-1P 92592-84-2P 92592-85-3P
     92592-86-4P 92592-89-7P 92592-90-0P
     92627-65-1P 95262-27-4P 95262-28-5P
     95262-29-6P 95262-30-9P 95262-31-0P
     95262-32-1P 95262-33-2P 95262-34-3P
     95262-35-4P 95262-36-5P 95262-37-6P
     95262-38-7P 95262-39-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     92592-83-1 CAPLUS
     Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-2-oxo-1-(2,3,4-tri-0-
CN
     acetyl-\alpha-L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX
     NAME)
```

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-84-2 CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-6-nitro-2-oxo-1-(2,3,4-tri-O-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-85-3 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-86-4 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-89-7 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-6-nitro-2-oxo-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-90-0 CAPLUS

CN 1H-Indole-2,3-dione, 6-nitro-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92627-65-1 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

RN 95262-27-4 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,5-tri-O-acetyl- β -D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 95262-28-5 CAPLUS

Absolute stereochemistry.

RN 95262-29-6 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Patel

<5/4/2004>

95262-30-9 CAPLUS RN

1H-Indole-2,3-dione, 1-[2,3-di-0-acetyl-5-0-(1-oxohexadecyl)- β -D-CNribofuranosyl]-6-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$O_2N$$
 O_2N
 O_2N
 O_3N
 O_4N
 O_4N

95262-31-0 CAPLUS RN

1H-Indole-2,3-dione, 5-bromo-1-(2,3,4-tri-0-acetyl- α -L-CN arabinopyranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

95262-32-1 CAPLUS RN

1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,4-tri-0-acetyl- α -L-CN arabinopyranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 95262-33-2 CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-2-oxo-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95262-34-3 CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-6-nitro-2-oxo-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 95262-35-4 CAPLUS

CN Hydrazinecarbothioamide, 2-[1-[2,3-di-O-acetyl-5-O-(1-oxohexadecyl)-β-D-ribofuranosyl]-1,2-dihydro-6-nitro-2-oxo-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95262-36-5 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95262-37-6 CAPLUS

CN lH-Indole-2,3-dione, 5-bromo-1-(2,3,5-tri-0-acetyl- β -D-ribofuranosyl)-, 3-[(2,4-dinitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

<5/4/2004>

Patel

RN 95262-38-7 CAPLUS

CN lH-Indole-2,3-dione, 5-bromo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-, 3-[(2,4-dinitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95262-39-8 CAPLUS

CN lH-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-, 3-[(2,4-dinitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

GI

AB β -D-Ribofuranosides I and α -L-arabinopyranosides II [R = Br, R1 = H, R = H, Br, R1 = NO2, R2 = Ph3C, Ac, C15H31CO, X = O, NNHCSNH2, NNHC6H4NO2-p, NNHC6H3(NO2)2-2,4], useful as virucides and neoplasm inhibitors, were prepared by glycosidation of appropriate indolines with tritylribofuranose and arabinopyranose followed by oxidation with MnO2. I (R = H, R1 = NO2, R2 = Ac, X = NNHCSNH2) was effective against herpes virus type I at 15 μ g/mL.

- L3 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1985:105732 CAPLUS
- DN 102:105732
- TI Relation between the structure and cytotoxic action of the 3-derivatives of 1-glycosylisatin
- AU Dobrynin, Ya. V.; Nikolaeva, T. G.; Shkrgova, A. D.; Lesnaya, N. A.; Peretolchina, N. M.; Sofina, Z. P.; Ektova, L. V.; Tolkachev, V. N.; Preobrazhenskaya, M. N.
- CS VONTs, Moscow, USSR
- SO Khimiko-Farmatsevticheskii Zhurnal (1984), 18(12), 1440-4 CODEN: KHFZAN; ISSN: 0023-1134
- DT Journal

```
LA
     Russian
IT
     57577-41-0 64786-31-8 64786-33-0
     64786-35-2 64786-37-4 92592-80-8
     92592-81-9 92592-82-0 92592-83-1
     92592-84-2 92592-87-5 92592-89-7
     95086-84-3 95086-85-4 95086-86-5
     95086-89-8 95086-90-1 95086-91-2
     95086-92-3 95103-24-5 95103-25-6
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); BIOL (Biological study)
        (cytotoxic activity of, structure in relation to)
RN
     57577-41-0 CAPLUS
     1H-Indole-2,3-dione, 1-\beta-D-ribofuranosyl- (9CI) (CA INDEX NAME)
CN
```

Absolute stereochemistry.

Absolute stereochemistry.

RN 64786-33-0 CAPLUS CN 1H-Indole-2,3-dione, 5-nitro-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64786-35-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-α-L-arabinopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64786-37-4 CAPLUS

CN 1H-Indole-2,3-dione, 1- β -D-xylopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 92592-80-8 CAPLUS

CN Hydrazinecarbothioamide, 2-(1,2-dihydro-2-oxo-1-β-D-xylopyranosyl-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

RN 92592-81-9 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-2-oxo-1-(2,3,4-tri-O-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-82-0 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-5-nitro-2-oxo-1-(2,3,4-tri-O-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-83-1 CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-2-oxo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-84-2 CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-6-nitro-2-oxo-1-(2,3,4-tri-O-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-87-5 CAPLUS

CN Hydrazinecarbothioamide, 2-(1,2-dihydro-2-oxo-1- β -D-ribofuranosyl-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-89-7 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-6-nitro-2-oxo-1-(2,3,5-tri-0-acetyl-β-D-ribofuranosyl)-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

RN 95086-84-3 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-2-oxo-1-(2,3,4-tri-0-acetyl- β -D-xylopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95086-85-4 CAPLUS

CN Hydrazinecarboximidamide, 2-[5-bromo-1,2-dihydro-2-oxo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 95086-86-5 CAPLUS

CN Hydrazinecarboximidamide, 2-[5-bromo-1,2-dihydro-6-nitro-2-oxo-1-(2,3,4-tri-0-acetyl-α-L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 95086-89-8 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-, 3-[(3-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

RN 95086-90-1 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl) , 3-[(3-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95086-91-2 CAPLUS

CN 1H-Indole-2,3-dione, 6-nitro-1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl), 3-[(3-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

RN 95086-92-3 CAPLUS
CN 1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,4-tri-O-acetyl-α-L-arabinopyranosyl)-, 3-[(3,5-dinitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 95103-24-5 CAPLUS
CN 1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,4-tri-0-acetyl-α-L-arabinopyranosyl)-, 3-[(3-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

RN 95103-25-6 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,4-tri-0-acetyl-α-L-arabinopyranosyl)-, 3-[(3,5-dinitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

GΙ

$$R^2$$
 R^3
 R^3
 R^3
 R^3

AB Structure-cytotoxic activity relations are discussed for 28 isotins (I) where X = O, NNHCSNH2, NNHC(:NH)NH2, NNHC6H4NO2, or NNHC6H3(NO2)2; R1 = H,

Page 90

NO2, or Br; R2 = H, NO2, or C1; R3 = H, Me, or glycosyl, as well as for a 7-azaisatin thiosemicarbazone derivative Some of the compds. were also tested for antitumor activity in vivo, and the 1- β -D-ribofuranosylisatin derivative of I where X = NNHCSNH2 and R1 = R2 = H [92592-87-5] showed particularly high activity against AK-755 tumors.

L3 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1984:583459 CAPLUS

DN 101:183459

TI Biological activity and mechanism of action of 1-glycosylisatin-3-thiosemicarbazones

AU Potapova, G. I.; Gudratov, N. O.; Alekhina, R. P.; Ektova, L. V.; Preobrazhenskaya, M. N.

CS Vses. Onkol. Nauchn. Tsentr., Moscow, USSR

SO Khimiko-Farmatsevticheskii Zhurnal (1984), 18(7), 785-90 CODEN: KHFZAN; ISSN: 0023-1134

DT Journal

LA Russian

IT 53430-55-0 58430-91-4 92592-80-8 92592-81-9 92592-82-0 92592-83-1 92592-84-2 92592-85-3 92592-86-4 92592-87-5 92592-88-6 92592-89-7 92592-90-0 92627-65-1 RL: BIOL (Biological study)

(DNA formation and neoplasm inhibition by)

RN 53430-55-0 CAPLUS

CN Hydrazinecarbothioamide, 2-(1- β -D-glucopyranosyl-1,2-dihydro-5-methyl-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 58430-91-4 CAPLUS

CN Hydrazinecarbothioamide, 2-(1- β -D-glucopyranosyl-1,2-dihydro-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

RN 92592-80-8 CAPLUS

CN Hydrazinecarbothioamide, 2-(1,2-dihydro-2-oxo-1-β-D-xylopyranosyl-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-81-9 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-2-oxo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-82-0 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-5-nitro-2-oxo-1-(2,3,4-tri-0-acetyl-α-L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-83-1 · CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-2-oxo-1-(2,3,4-tri-0-acetyl-α-L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-84-2 CAPLUS

CN Hydrazinecarbothioamide, 2-[5-bromo-1,2-dihydro-6-nitro-2-oxo-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-85-3 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-6-nitro-1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

RN 92592-86-4 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,4-tri-O-acetyl-α-L-arabinopyranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-87-5 CAPLUS

CN Hydrazinecarbothioamide, 2-(1,2-dihydro-2-oxo-1-β-D-ribofuranosyl-3Hindol-3-ylidene)- (9CI) (CA INDEX NAME)

RN 92592-88-6 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-2-oxo-1-(2,3,5-tri-0-acetyl-β-D-ribofuranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 92592-89-7 CAPLUS

CN Hydrazinecarbothioamide, 2-[1,2-dihydro-6-nitro-2-oxo-1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME)

RN 92592-90-0 CAPLUS

CN 1H-Indole-2,3-dione, 6-nitro-1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-, 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 92627-65-1 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl) , 3-[(4-nitrophenyl)hydrazone] (9CI) (CA INDEX NAME)

GΙ

The potential antitumor activities of 10 1-glycosylisatin 3-thiosemicarbazones and 4 1-glycosylisatin p-nitrophenylhydrazones were studied in vivo and in vitro. I (R = H or NO2) and II (R = H or NO2, R1 = H or Ac) inhibited DNA synthesis in vivo and in vitro. However, II (R = H or NO2, R1 = H or Ac) inhibited DNA synthesis equally well in tumor cells and in mouse spleen and small intestine; apparently, they have no selective antitumor activity. The mechanism of action of the compds. appears to involve a direct action on cellular nucleoproteins. Structure-activity relations are discussed.

- L3 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1980:542658 CAPLUS
- DN 93:142658
- TI De novo analysis of data obtained in binding of isatin derivatives to human serum albumin
- AU Maysinger, Dusica; Birus, Mladen; Movrin, Marija
- CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia
- SO Acta Pharmaceutica Jugoslavica (1980), 30(1), 9-13 CODEN: APJUA8; ISSN: 0001-6667
- DT Journal
- LA English

10723961.8

Page 98

IT 74380-11-3 74380-12-4 74380-13-5

RL: PROC (Process)

(albumin binding of, structure in relation to)

RN 74380-11-3 CAPLUS

CN 1H-Indole-2,3-dione, 1-(4-morpholinyl)-5-nitro- (9CI) (CA INDEX NAME)

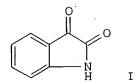
RN 74380-12-4 CAPLUS

CN 1H-Indole-2,3-dione, 1-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 74380-13-5 CAPLUS

CN Acetic acid, [1,2-dihydro-1-(4-morpholinyl)-2-oxo-3H-indol-3-ylidene]hydrazide (9CI) (CA INDEX NAME)

GI



Free-Wilson (1964) anal. of the human serum albumin binding of 16 isatin AΒ (I) derivs. showed that a nitrogen mustrad group on the N of I had the greatest substituent effect. Substitution of a diisopropyl group in the same position also increased albumin binding. Morpholine was the only substituent which decreased binding. Groups which increase hydrophobicity seemed to increase protein binding.

ANSWER 27 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN L3

AN 1980:198677 CAPLUS

DN 92:198677

ΤI $1-(2,3,4,6-\text{Tetra-O-acetyl-}\beta-\text{D-glucosyl})$ isatin. Preparation of isatin nucleosides

Preobrazhenskaya, M. N.; Yartseva, I. V.; Ektova, L. V. AU

CS

Cancer Res. Cent., Acad. Med. Sci., Moscow, 115478, USSR Nucl. Acid Chem. (1978), Volume 2, 725-7. Editor(s): Townsend, Leroy B.; SO Tipson, R. Stuart. Publisher: Wiley, New York, N. Y. CODEN: 42TBAU

DTConference

LА English

ΙT 53382-96-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 53382-96-0 CAPLUS

1H-Indole-2,3-dione, $1-(2,3,4,6-\text{tetra-O-acetyl-}\beta-D-\text{glucopyranosyl})$ CN(CA INDEX NAME)

Absolute stereochemistry.

GΙ

Glucosylisatin I was prepared (1) in 45% yield by cyclocondensation of N-phenyl-2,3,4,6-tetra-O-acetyl-D-glucosylamine with oxalyl chloride in the presence of AlCl3 and (2) in 48% yield by CrO3 oxidation of 1-(2,3,4,6-tetra-O-acetyl- β -D-glucosyl) indole. I is of interest as a potential anticancer compound

L3 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1979:55210 CAPLUS

DN 90:55210

TI $1-(2,3,4,6-\text{Tetra-O-acetyl-}\beta-\text{D-glucosyl})$ isatin. Preparation of isatin nucleosides

AU Preobrazhenskaya, M. N.; Yartseva, I. V.; Ektova, L. V.

CS Cancer Res. Cent., Acad. Med. Sci., Moscow, USSR

SO Nucleic Acid Chem. (1978), Volume 2, 725-7. Editor(s): Townsend, Leroy B.; Tipson, R. Stuart. Publisher: Wiley, New York, N. Y. CODEN: 39GCA6

DT Conference

LA English

IT 53382-96-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 53382-96-0 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2,3,4,6-tetra-0-acetyl-β-D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

 $1\text{-}\beta\text{-}\text{D-Glucosylisatin}$ (I) was prepared by the reaction of AΒ N-phenyl-2,3,4,6-tetra-O-acetyl-D-glucosylamine with (COCl)2 in the presence of AlCl3 and by the CrO3 oxidation of O-acylated 1-D-glucopyranosylindole.

ANSWER 29 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN L3

1978:7227 CAPLUS AN

DN 88:7227

TISynthesis and study of 1-glycosylisatins

Yartseva, I. V.; Ektova, L. V.; Sakharova, V. I.; Dobrynin, Ya. V.; Yavorskaya, N. P.; Nikolaeva, T. G.; Sof'ina, Z. P.; Preobrazhenskaya, M.

CS Onkol. Nauchn. Tsentr, Moscow, USSR

Zhurnal Organicheskoi Khimii (1977), 13(8), 1743-9 SO CODEN: ZORKAE; ISSN: 0514-7492

Journal DT

LA Russian

ΙT 64786-31-8P 64786-32-9P 64786-33-0P

64786-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN64786-31-8 CAPLUS

1H-Indole-2,3-dione, 1-(2,3,4-tri-0-acetyl- α -L-arabinopyranosyl)-CN(9CI) (CA INDEX NAME)

.Absolute stereochemistry.

<5/4/2004>

Patel

RN64786-32-9 CAPLUS

CN 1H-Indole-2,3-dione, 5-methyl-1-(2,3,4-tri-0-acetyl- α -Larabinopyranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

64786-33-0 CAPLUS 1H-Indole-2,3-dione, 5-nitro-1-(2,3,4-tri-O-acetyl- α -L-CN arabinopyranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

64786-34-1 CAPLUS RN

1H-Indole-2,3-dione, 1-(2,3,4-tri-O-acetyl- β -D-xylopyranosyl)- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 64786-35-2 CAPLUS CN 1H-Indole-2,3-dione, $1-\alpha$ -L-arabinopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64786-36-3 CAPLUS CN 1H-Indole-2,3-dione, 1- α -L-arabinopyranosyl-5-methyl- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

RN 64786-37-4 CAPLUS

CN 1H-Indole-2,3-dione, 1-β-D-xylopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64786-38-5 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thio- α -L-arabinopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64786-39-6 CAPLUS

CN lH-Indole-2,3-dione, 1-(2,4-di-0-acetyl-3-S-acetyl-3-thio- β -D-xylopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64786-40-9 CAPLUS

1H-Indole-2,3-dione, 1-(3-thio- β -D-xylopyranosyl)- (9CI). (CA INDEX CNNAME)

Absolute stereochemistry.

RN64786-41-0 CAPLUS

CN1H-Indole-2,3-dione, 1-(3-thio- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

64786-42-1 CAPLUS 1H-Indole-2,3-dione, 1-(2,5-di-O-acetyl-3-S-acetyl-3-thio- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 64822-83-9 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2,4-di-0-acetyl-3-S-acetyl-3-thio- α -L-arabinopyranosyl)-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64998-03-4 CAPLUS

CN lH-Indole-2,3-dione, 1-(2,4-di-0-acetyl-3-S-acetyl-3-thio- α -L-arabinopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB Hexopyranosylisatins I (R = H, Me, NO2), II, and III were obtained by cyclization of O-acylated N-glycosylphenylamines by the Stolle reaction or by oxidation of O-acylated 1-glycosylindoles by chromic acid followed by removal of the protecting groups.

L3 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:592615 CAPLUS

DN 85:192615

TI Synthesis of potentially biologically active compounds

AU Alimov, E.; Tadzhiddinov, Z.

CS USSR

SO v sb., Sintez i Primenenie Novykh Khim. Preparatov Protiv Vilta Khlopchatnika (1975) 96-7
From: Ref. Zh., Khim. 1976, Abstr. No. 14Zh351

DT Journal

LA Russian

IT 60975-13-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and potential fungicidal activity of)

RN 60975-13-5 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2-benzothiazoly1)- (9CI) (CA INDEX NAME)

AB Title only translated.

L3 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:105995 CAPLUS

DN 84:105995

TI Synthesis of 1-glucosylisatins and their biological activity in animals with transplantable tumors and in vitro

AU Yartseva, I. V.; Ektova, L. V.; Preobrazhenskaya, M. N.; Lesnaya, N. A.; Yavorskaya, N. P.; Platonova, G. N.; Sof'ina, Z. P.

CS Inst. Exp. Clin. Oncol., Moscow, USSR

SO Bioorganicheskaya Khimiya (1975), 1(11), 1589-92 CODEN: BIKHD7; ISSN: 0132-3423

10723961.8

Page 108

DT Journal

LA Russian

IT 53430-55-0 58430-91-4 58430-92-5

58430-93-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(neoplasm growth enhancement in mice)

RN 53430-55-0 CAPLUS

CN Hydrazinecarbothioamide, 2-(1- β -D-glucopyranosyl-1,2-dihydro-5-methyl-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 58430-91-4 CAPLUS

CN Hydrazinecarbothioamide, 2-(1- β -D-glucopyranosyl-1,2-dihydro-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 58430-92-5 CAPLUS

CN Hydrazinecarbothioamide, 2-(1-β-D-glucopyranosyl-1,2-dihydro-4-methyl-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 58430-93-6 CAPLUS

CN Hydrazinecarbothioamide, $3-(1-\beta-D-glucopyranosyl-1,2-dihydro-6-methyl-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

Double bond geometry unknown.

IT 53382-96-0P 53382-98-2P 53383-06-5P 53383-15-6P 53383-16-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

Absolute stereochemistry.

RN 53382-98-2 CAPLUS CN 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-06-5 CAPLUS CN 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-15-6 CAPLUS CN 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl-4-methyl- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

RN 53383-16-7 CAPLUS CN 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI For diagram(s), see printed CA Issue.

Tetraacetylglucopyranosylisatin (I, R = Ac, R1 = H, X = O) was obtained in 48% yield by oxidation of the corresponding indole derivative with chromic acid-AcOH, and in 10% yield from the indoline derivative Hydrolysis gave I (R = R1 = H, X = O) which was methylated to give I (R = H, R1 = 4-, 5-, 6-Me, X = O) and treated with H2NNHCSNH2 to give I (X = NNHCSNH2). The latter stimulated the growth of mouse tumor adenocarcinoma AC-755.

- L3 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1975:531857 CAPLUS
- DN 83:131857
- TI 1-Ribosylisatins
- AU Yartseva, I. V.; Ektova, L. V.; Preobrazhenskaya, M. N.
- CS Inst. Exp. Clin. Oncol., Moscow, USSR
- SO Bioorganicheskaya Khimiya (1975), 1(2), 189-94 CODEN: BIKHD7; ISSN: 0132-3423
- DT Journal
- LA Russian

Absolute stereochemistry.

(CA INDEX NAME)

RN 57577-40-9 CAPLUS CN 1H-Indole-2,3-dione, 1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 57577-37-4P 57577-41-0P 57577-42-1P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) RN 57577-37-4 CAPLUS CN 1H-Indole-2,3-dione, 1- β -D-ribopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 57577-41-0 CAPLUS

CN 1H-Indole-2,3-dione, 1-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 57577-42-1 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI For diagram(s), see printed CA Issue.

- AB Ribopyranosylisatin (I, R = H) was obtained by cyclization of N-ribosylaniline triacetate with oxalyl chloride containing AlCl3 3 hr at 50-60° to give acetate I (R = Ac) which was hydrolyzed by NaOMe-MeOH. Analogously obtained was ribofuranosylisatin (II).
- L3 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1975:497788 CAPLUS
- DN 83:97788

TI Properties of 1-glucosylisatins

AU Tolkachev, V. N.; Kornveits, M. Z.; Turchin, K. F.; Preobrazhenskaya, M.

<5/4/2004>

Ν. . Inst. Eksp. Klin. Onkol., Moscow, USSR CS Zhurnal Organicheskoi Khimii (1975), 11(5), 1124-7 SO CODEN: ZORKAE; ISSN: 0514-7492 Journal DTRussian LΑ 53382-96-0 IT RL: RCT (Reactant); RACT (Reactant or reagent) (reactions of) RN53382-96-0 CAPLUS 1H-Indole-2,3-dione, 1-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)-CN (CA INDEX NAME)

Absolute stereochemistry.

GI For diagram(s), see printed CA Issue.

AB Indoloquinoxalines (I, R = Ac) was obtained in 50.6% yield by condensation of II (R = Ac) with o-(H2N)2C6Hj. Subsequent hydrolysis of I (R = Ac) gave 79% I (R = H). III (R = Ac, R1 = Me, Ph) were obtained in 84.4 and 71% yields as mixts. of diastereoisomers by condensation of I (R = Ac) with Me2CO and PhCOMe.

L3 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN 1974:425896 CAPLUS

DN 81:25896
TI 1-β-D-Glucopyranosides of isatin and methylisatins
AU Preobrazhenskaya, M. N.; Yartseva, I. V.; Ektova, L. V.
CS Inst. Eksp. Khim. Onkol., Moscow, USSR

SO Doklady Akademii Nauk SSSR (1974), 215(4), 873-6 [Chem] CODEN: DANKAS; ISSN: 0002-3264

DT Journal LA Russian

IT 53382-96-0P 53382-97-1P 53382-98-2P
53383-03-2P 53383-04-3P 53383-05-4P
53383-06-5P 53383-15-6P 53383-16-7P
53430-55-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
53382-96-0 CAPLUS

CN 1H-Indole-2,3-dione, 1-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

53382-97-1. CAPLUS RN

Hydrazinecarbothioamide, 2-[1,2-dihydro-2-oxo-1-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)-3H-indol-3-ylidene]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Double bond geometry unknown.

RN

53382-98-2 CAPLUS 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl-5-methyl- (9CI) (CA INDEX CNNAME)

Absolute stereochemistry.

RN 53383-03-2 CAPLUS

CN 1H-Indole-2,3-dione, 5-methyl-1-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-04-3 CAPLUS

CN 1H-Indole-2,3-dione, 4-methyl-1-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-05-4 CAPLUS

CN lH-Indole-2,3-dione,.6-methyl-1-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-06-5 CAPLUS

CN 1H-Indole-2,3-dione, 1-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-15-6 CAPLUS

CN 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53383-16-7 CAPLUS

CN 1H-Indole-2,3-dione, 1- β -D-glucopyranosyl-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53430-55-0 CAPLUS

CN Hydrazinecarbothioamide, 2-(1- β -D-glucopyranosyl-1,2-dihydro-5-methyl-2-oxo-3H-indol-3-ylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

GI For diagram(s), see printed CA Issue.

AB Isatin derivs. (I; R = H, 4-, 5-, 6-Me, Rl = Ac) were prepared in 45-77% yield by cyclocondensation of the appropriate glucopyranosylaniline derivative with (COCl)2 in the presence of AlCl3. Deacetylation of I by NaOMe-MeOH gave 34-46% I (Rl = H). Thiosemicarbazones (II; R = H, Rl = Ac; R = 5-Me, Rl = H) were obtained in apprx.50% yield from H2NNHCSNH2 with the appropriate I.

L3 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1966:72632 CAPLUS

DN 64:72632

OREF 64:13607d-f

TI Manifolded sets for duplicating

PA Burroughs Corp.

SO 28 pp.

DT Patent

LA Unavailable

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO	. DATE
ΡI	GB 1018794		19660202	GB	
				US	19610831
	US 3244548		1966	US	
ΙT	2245-03-6,	Phthalide,	3-(2,3-diox	o-1-indolinyl)-	
(in transfer coating for copying process)					
RN	2245-03-6	CAPLUS	-	-	
CN	Phthalide,	3 - (2, 3 - diox)	o-1-indoliny	yl)- (7CI, 8CI) (C	A INDEX NAME)

GI For diagram(s), see printed CA Issue.

An original base web is treated with a transfer coating containing a colorless or lightly colored chromogenous compound of the general formula I, where R and R' are amino residues and X is CH2 or CO, and a film-forming composition (which can be ruptured upon impact) and contacted with a duplicate base web, which has been treated with an adherent coating containing a color developer: a dihydric phenol, a trihydric phenol, or a naphthol, in order to give dark markings. The phenolic compound is applied at 0.2-8 g./sq. in.; the transfer coating can contain the phenolic developer, and the adherent coating can contain I. Solns. containing 1-10 weight % I in a solvent are emulsified in an aqueous film former to give a (solvent + I): film former solids ratio of 1:1-1.5:1. Resorcinol (15 parts) is mixed with 85 parts rubber latex emulsion (72% resin solids) to give an adherent coating composition

L3 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1965:36804 CAPLUS

```
62:36804
DN
OREF 62:6476a-b
     Note on the formation of 2,5-dianilino-1,3,4-thiadiazole
TI
     Stanovnik, B.; Tisler, M.
ΑU
     Univ. Ljubljana, Yugoslavia
CS
     Croatica Chemica Acta (1964), 36(3), 169-70
SO
     CODEN: CCACAA; ISSN: 0011-1643
     Journal
DT
     English
LΑ
IT
     2245-03-6, Phthalide, 3-(2,3-dioxo-1-indolinyl)-
        (preparation of)
     2245-03-6 CAPLUS
RN
     Phthalide, 3-(2,3-dioxo-1-indolinyl)- (7CI, 8CI) (CA INDEX NAME)
CN
```

AB The title compound (I) was prepared from 4-phenylthiosemicarbazide (II) in 2 ways: 0.01 mole II refluxed with 0.01 mole ethylene glycol carbonate (III) in 15 ml. 2-ethoxyethanol 4 hrs. yielded 60% I, m. 247° (EtOH); 0.01 mole II refluxed in 15 ml. III 4 hrs. gave 56% I. Refluxing of II in other high boiling solvents gave also I, thus I is formed by a thermal transformation of II.

L3 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1965:36803 CAPLUS

DN 62:36803

OREF 62:6475h;6476a

 $\ensuremath{\mathsf{TI}}$ The condensation of phthalaldehydic acid and related compounds with various heterocyclic systems

AU Rees, C. W.; Sabet, C. R.

CS Univ. London

SO Journal of the Chemical Society, Abstracts (1965), (Jan.), 687-91 CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA English

OS CASREACT 62:36803

IT 2245-03-6, Phthalide, 3-(2,3-dioxo-1-indolinyl)(preparation of)

RN 2245-03-6 CAPLUS

CN Phthalide, 3-(2,3-dioxo-1-indolinyl)- (7CI, 8CI) (CA INDEX NAME)

The previously described condensation of phthalaldehydic acid with indoles is extended to various other oxo acids (mucochloric, o-acetylbenzoic, and naphthalaldehydic acid) and the analogous 3-hydroxy-2-methylphthalimidine, and to various other heterocyclic systems (pyrroles, carbazole, indazole, and benzotriazole). Further support is provided for the mechanism proposed earlier.

L3 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1963:441540 CAPLUS

DN 59:41540

OREF 59:7462h,7463a-d

TI Structure of methylisatoid

AU Bird, C. W.

CS Oueen Elizabeth Coll., London

SO Tetrahedron (1963), 19(6), 901-4 CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA Unavailable

IT 93326-92-2, Isatoid, methyl-

(structure of)

RN 93326-92-2 CAPLUS

CN [1,2'-Biindoline]-2,3,3'-trione, 2'-methoxy- (7CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Crude methylisatoid, prepared according to Hantzsch (CA 15, 3482), purified by chromatography from CHCl3 on silica gel and eluted with CHCl3-EtOH, gave isatin and pure methylisatoid (I), C17H12N2O2, m. 245-6° (MeCN). I (0.5 g.) in 20 ml. AcOH and 2 ml. 50% H2SO4 refluxed 3 hrs. and the cooled solution neutralized with NaOAc, diluted with 22 ml. H2O, and the precipitate (0.3 g.) recrystd. from dilute alc. gave isatin-α-(2-formylanil) (II), m. 21617°, also produced by use of HBr in lieu of H2SO4. Dry C6H6 (10 ml.) containing 0.81 g. O-methylisatin (III) and 10 ml. C6H6

containing

0.60 g. o-H2NC6H4CHO combined and refluxed 5 hrs. with magnetic stirring,

kept 16 hrs., and the precipitate recrystd. from dilute alc. gave II. II (0.3 g.)

in 10 ml. AcOH kept 16 hrs. with 50 mg. CrO3 in 1 ml. H2O and the mixture diluted with H2O gave 0.16 g. 6,12 dihydro-6,12-dioxoindolo[2,1-b]quinazoline (IV), m. 262-3° xylene). Pure I gave an orange-red solution in dilute alkali (fading on standing), which was acidified to give unchanged I, λ 232, 256, 319, 447 mμ (ε 13,900, 18,600, 7350, 6850, alc.), v 3150, 1720, 1080, 755 cm.-1 Comparison of the ultraviolet spectrum with those of N-methylisatin and of spiro[cyclopentane-1,2'-indoxyl] with similar chromophores and the diagnostic bands of the infrared spectrum supported the formulation of I. II showed only one CO infrared band at 1725 and a strong band at 1020 cm.-1 The weak band at 2750 probably belongs to the band centered at 3100 cm.-1 arising from an H-bonded OH group. Several unsuccessful attempts were made to prepare a monobromoethylisatoid by heating 5-bromoisatin with

deposited I on exposure to air. Accordingly, hydrolysis and coupling are probably a concerted process. The crystallographic data suggest that the

ANSWER 39 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN L3AN 1937:13114 CAPLUS DN 31:13114 OREF 31:1803d-e ΤI The structure of isatin. I Cox, E. G.; Goodwin, T. H.; Wagstaff, A. I. ΑU SO Proc. Roy. Soc. (London) (1936), A157, 399-411 DTJournal LΑ Unavailable 93326-92-2, Isatoid, methyl-IT(structure of) RN 93326-92-2 CAPLUS CN[1,2'-Biindoline]-2,3,3'-trione, 2'-methoxy- (7CI) (CA INDEX NAME)

III in PhNO2 at 100°, although a similar solution of III slowly

appropriate reaction centers in III are in close proximity.

Optical and x-ray analysis of crystalline isatin indicates a structure intermediate between the lactam and lactim forms. The mols. lie in parallel layers with the N and adjacent O atoms of one only 2.8 A. from the O and N atoms, resp., of the next mol., indicating coordination. N-and O-methylisatin, Me isatoid and 3-methoxyquinolone show no simple structural relation to isatin; 3-hydroxyquinoline does, and will be further examined

L3 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1921:18628 CAPLUS

DN 15:18628

OREF 15:3482c-i,3483a-i,3484a-i

10723961.8

Page 123

```
TI
     The true and alleged isomerisms in the isatin series
ΑU
     Hantzsch, A.
SO
     Ber. (1921), 54B, 1221-57
DT
     Journal
LA
     Unavailable
IΤ
     93326-92-2, Isatoid, methyl-
        (preparation of)
     93326-92-2 CAPLUS
RN
CN
     [1,2'-Biindoline]-2,3,3'-trione, 2'-methoxy- (7CI)
                                                         (CA INDEX NAME)
```

GI For diagram(s), see printed CA Issue.

AB cf. Heller, C. A. 15, 87, and earlier papers. Of Heller's alleged three isomers of isatin, only one, isatol, really exists. On methylation of isatin there is formed from the Ag salt primarily only a single, well crystallized ether, v. Baeyer's isatinol Me ether (I); from this there is formed secondarily, e. g., on warming in C6H6, by isomerization the N-Me ether (II); the saponification, which occurs with exceeding ease, being produced

even by H2O at room temperature, never yields the corresponding isatinol (III) but, by spontaneous isomerization, isatin or isatol. Isatin is formed chiefly in aqueous alc. solution, isatol from the solid ether by the moisture

the air, yielding quant. at first the metastable α -isatol (probably IV), which exists only in the solid form and is converted by all solvents into the stable β -isatol (probably V); this is also formed chiefly (together with some isatin) on saponification of the isatinol ether in acid

alc. solution, but concentrated acid splits off the MeO group with formation of isatin. That the free isatols are separate isomers and not, like the isatinols, merely tautomers is explained by the fact that they no longer contain the grouping -N: C(OH) -, which can change over into -NH.CO-. Heller's "isatol," which he describes as an isomer of isatin, is no chemical individual but an impure β -isatol. His "isatinone," which he later comes to consider as a "methylisatoid" and not an isomer of isatin, is in fact the only isomer of isatin which exists and is identical with β -isatol. Also v. Baeyer's other alkylisatoids and Heller's numerous other alleged new isomers of isatin and 5,7-dimethylisatin do not exist, especially the supposed lactim or enol form, dimethylisatol; in this series also dimethylisatol is the only isomer of dimethylisatin. Consequently the isomerisms in the isatin series are in full accord with Hantzsch's observations and theories on isomerism, tautomerism and salt formation. To obtain good yields in the action of MeI on Ag isatin it is important to start with the purest possible materials, as slight impurities partially lead with ease to the secondary products owing to decomposition of the I first formed and partially make more difficult the isolation and purification of the I. The preparation of the Ag salt is

Patel

of

ac,.

10723961.8 Page 124

described in the following abstract The MeI is best prepared fresh every time from KI and Me2SO4 and fractionated from CaCl2; in all the following expts. it was used in slight excess. To prepare I, Ag isatin and MeI are allowed to stand in a little C6H6 in the dark in a closed vessel, protected from moisture, with frequent shaking until all of the Bordeaux-red salt has been converted into yellow AgI (8-14 days), diluted with more dry C6H6, filtered, evaporated in a dark vacuum desiccator over. paraffin, pressed on clay, again dissolved in a little C6H6 and allowed to crystalline very slowly (14 days) in the dark over paraffin. Only in this way can the formation of the yellow so-called "methylisatoid" be almost wholly prevented and the pure I be obtained in red prisms, m. 101-2°. While it can, in the form. of compact crystals, be kept for years in the air without apparent change, in powder form it decomps. in air and light in the course of a few days into the light yellow IV, m. 238-40°, according to the equation I + $H2O \rightarrow IV + MeOH$. On the other hand, on warming with 50% aqueous alc. there is obtained, instead of the expected III, its isomerization product, isatin, which is also obtained by evaporating a C6H6 solution of I on the H2O bath; sometimes, by cautiously evaporating the solution

several times on a sand bath, i. e., in a dry atmospheric, the I can be quant. converted into II. Large, well developed and uninjured crystals can be kept a long time in the brightest sunlight in moist air without change, which can only be explained by assuming that such crystals are protected by an imperceptible thin layer of IV. IV (Baeyer's "methylisatoid") is prepared by stirring 3 g. of well dried and powdered Ag isatin with an equal weight of pure MeI, boiling gently 20-30 min. under a reflux, adding 30 cc. dry C6H6 and a little charcoal, bringing to a boil, filtering into an open dish and allowing to evaporate spontaneously in the air and light (best sunlight); it seps. as a light yellow powder and as on recrystn. it changes into V it can be purified only by breaking it up with a spatula and carefully stirring it with a little Et2O, decanting, repeating the process, quickly warming a little with C6H6, filtering, and repeating the process until a sample m. 238-40° (decomposition); yield, 30%, calculated on the basis of the amount of Ag salt used. It is indefinitely stable in the solid form but is recovered from all solns., even when heating is avoided, only in the form of the darker V. It dissolves in NaOH with formation of a red salt of V; in the solid form it is indifferent towards N2CHCO2Et but in Ht2O distinctly evolves N and must, therefore, contain a phenol-like HO group; shaken with C6H6 containing thiophene and concd, H2SO4 it does not give the blue indophenin reaction, even on gentle warming, but only. a dark red-brown color. That it really has the composition IV and not that, C17H12O4N2, of Baeyer's methylisatoid. is shown by the fact that 0. 1153 g. simply dissolved in alc. and evaporated to dryness gives 0. 1155 g. pure V, m. 226°. Further evidence of the absence of any MeO is afforded by the fact that when covered with concentrated HCl and evaporated to dryness over KOH

it takes up 0. 5 mol., forming the red isatol hydrochloride, C8H5O2-N.0.5HCl, which, however, loses its HCl again, very slowly at room temperature, in 1 hr. at 85-7° the IV at the same time rearranging into V. V (Heller's isatinone) can be prepared without purifying the intermediate IV; the C6H6 solution of the product of the reaction of Ag isatin on MeI is evaporated to dryness, washed with Et2O, extracted with warm C6H6, dissolved in alc., boiled with charcoal and concentrated It can also be obtained with EtI instead of MeI; in this case the intermediate IV could never be isolated. With concentrated HCl it forms the same red salt as IV. While its red alkali salts are readily soluble and hydrolyzed to a large extent in H2O the Ag salt is easily obtained by treating V in alc. with a slight excess of alc. AgNO3 and then slowly with somewhat more than the calculated amount of NaOH;

the

salt is red and dissolves with yellow color in pyridine and piperidine. Heller's "isatinol" could never be obtained from the alkaline solution of ${\tt V}$ with

50% AcOH, pure V being at once recovered under the most varied conditions. V is indifferent towards N2CHCO2Et but is smoothly converted by CH2N2, with evolution of N, into the Me ether. All attempts to obtain Heller's "isatil," m. 194.5°, using Ag isatin prepared in various ways but only the purest BzCl, failed; the prepns. obtained m. around $180\,^{\circ}$ and proved not to be homogeneous. H. was able to show, however, with a small sample of Heller's own product which he had that it was only impure V; it depressed the m. p. of V only 1. 5 °, that of isatin $14\,^{\circ}\text{,}$ and added HCl (approx. 0.5 mol.) with formation of a dark red salt. Similarly Ag 5-chloroisatin with BzCl gave in only one case a small amount of deep red crystals m. 186° but on recrystn. from pure Me2CO at room temperature these yielded ordinary 5-chloroisatin, m. 243°, and much BzOH. 5-Bromolsatol, from Ag bromoisatin and MeI or EtI, prismatic crystals from alc., m. 247°; the fact that the products obtained in the two ways are identical shows that they cannot be "methyl-" and "ethylbromoisatoids;" this is also confirmed by the Br content (35.43%) of the product obtained with Mel; it does not add HCl under the same conditions as V. In the 5,7-dimethylisatin series Heller's supposed isomers were investigated only on samples supplied by him. As some of them were certainly isatol derivs. they were subjected to the reaction whereby isatol can be distinguished most sharply from isatin and freed most rapidly from impurities and which depends on the ability of isatol and dimethylisatol to form well crystallized HCl salts. Below are given, resp., the values calculated for the absorption of 1 mol. HCl and those found, and the characteristics of the resulting HCl salts: Dimethylisatin I (true dimethylisatin), 17.24, O, no change; dimethylisatin II (supposed enol, dimethylisatinol), 17.24, 18.9, deep red crystals; dimethylisatin III (dimethylisatol), 17.24, 17.3, deep red crystals; dimethylisatin III Me ether, 16.03, 16.66, deep red crystals; dimethylisatin IV (di-methylisatinone), 17.24, 24.9 (?), blue-black solution in HCl, giving much of a yellow salt; Me ether from dimethylisatin IV (dimethylisatinol Me ether), 16.03, 15.6. Only the dimethylisatin III, therefore, added exactly 1 mol. HCl, and even that must have been impure, for the resulting HCl salt was red while the pure salt is yellow. Similarly, the other prepns. must have been impure. In connection with the indophenin reaction it is pointed out that the indications it affords are not so unambiguous that it can be used under all circumstances for the characterization of isomers in the isatin series. The shade of the color produced depends greatly on the way the reaction is carried out. If only a very small amount of a normal isatin, its N- or O-derivative or a substitution

product (0.0001 g.) in a few cc. of C6H6 containing thiophene is treated with concentrated H2SO4 the acid becomes only red or at most red-brown; the so-called typical blue color occurs only when more of the substance is used and most distinctly when at least 0.001 g. of the substance in warm C6H6 is treated at once with the acid and allowed to stand some time if necessary. All isatols, on the other hand, give only a red-brown color. If the substances are not quite pure, the reaction may fail even when pure isatin derivs. are present if isatols, and other non-defined impurities are also present. Isatin 3,3-dickloride, from 5 g. isatin in 10 cc. C6H6, and 10 g. PCl5 allowed to stand, loosely stop-pered, for 12 hrs. with frequent shaking, drained, washed with ligroin, alc. and H2O pressed on clay and crystallized from C6H6 and charcoal, light yellow prisms, m. 165° (decomposition), gives in alc. with PhNHNH2.AcOH the yellow isatin β -phenylhydrazone, m. 210°. N-Me ether, similarly obtained

from either II or the ether of III, yellowish prismatic crystals, m. 143°. To see if isatol chloride, isomeric with the known isatin chloride, might be obtained by elimination of HCl from the above dichloride, the latter was heated at 100° to constant weight (11 hrs.); although the loss in weight (18.9%) was approx. that calculated for I mol. HCl (18.1%) the product on crystallization from C6H6 yielded a considerable amount of

the unchanged dichloride and no monochloride. Likewise the dichloride dissolves in concentrated H2SO4 at 40° with evolution of HCl, which, however, is complete only at 60° but on dissolving the viscous mass in H2O and extracting with Et2O the latter yields only isatin. Isatol reacts with PCl5 only in POCl3, the yellow solution becoming almost colorless in 24 hrs. When poured off from the excess of PCl5 and freed from the POCl3O as completely as possible in vacuo it deposits a small amount of crystals stable towards H2 and probably consisting of the expected isatol chloride but changing, as well as the non-crystalline residue, in the air and on long standing in a desiccator into a blue-green dye; alc. also instantly converts the colorless chloride into the blue-green dye, which is best obtained by evaporating the alc., taking up in alkali and adding acid; it seps. in dark blue-green, almost black crystals.

=> s 14 and13 MISSING OPERATOR L4 ANDL3 The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d 15 fbib hitstr abs total

```
L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
```

AN 2004:143102 CAPLUS

DN 140:181325

TI Preparation of 3-imino-2-indolones as selective antagonists for GalR3 receptor for the treatment of depression and/or anxiety

IN Konkel, Michael; Wetzel, John M.; Talisman, Jamie

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 86 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

```
PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO. DATE
                                          _____
PΤ
    WO 2004014854
                      A1
                           20040219
                                          WO 2003-US24867 20030807
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
```

Patel

IT

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215374 A 20020807

OS MARPAT 140:181325

659726-71-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-71-3 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1;3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

IT 659726-72-4P 659726-79-1P 659727-02-3P 659727-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-72-4 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 659726-79-1 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(6-methoxy-3-pyridinyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 659727-02-3 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 659727-04-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro-(9CI) (CA INDEX NAME)

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4

<5/4/2004>

present on adjacent carbons can constitute a methylenedioxy group; R1 = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F, Cl, or Me; Ar = (un) substituted pyridin-3-yl or hydroxyphenyl group] and their pharmaceutically acceptable salts are prepared and disclosed as selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an intermediate iminoindole derivative which was coupled with 2-methoxypyridine-5-boronic acid. I were evaluated for their binding ability to the GalR3 receptor and possessed Ki values ranging from 15-72 nM. The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a pharmaceutical composition made by combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound

of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist.

There are a current preferences and the properties are a composition.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
     ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2004:142959 CAPLUS
DN
     140:193081
TI
     Pyrimidine and indolone derivative GAL3 receptor antagonists, and
     preparation thereof, for the treatment of affective disorders
     Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
IN
PA
     Synaptic Pharmaceutical Corporation, USA
SO
     PCT Int. Appl., 427 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO.
                     _ _ _ _ _
                            _____
                                          -----
    WO 2004014376
PΙ
                     A1 20040219
                                          WO 2003-US25133 20030807
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
```

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215346 A 20020807

OS MARPAT 140:193081 IT 445453-46-3P 445454-93-3P 445454-94-4P 445454-95-5P 445454-96-6P 445454-98-8P 445454-99-9P 445455-00-5P 445455-01-6P 445455-02-7P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-23-2P 445455-24-3P 445455-25-4P 445455-29-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain) RN 445453-46-3 CAPLUS 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-CN (trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-94-4 CAPLUS CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

10723961.8

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-01-6 CAPLUS

CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-02-7 CAPLUS CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-,

Double bond geometry as shown.

(3Z) - (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

IT 445454-97-7P 445455-57-2P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-57-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

The invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor. The invention provides a method of treating a subject suffering from an affective disorder which comprises administering an amount of a compound of the invention effective to treat the subject's affective disorder. The invention also provides a method of treating an affective disorder in a subject which comprises administering a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. The invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of. a compound of the invention and a pharmaceutically acceptable carrier. Preparation of compds. of the invention is described.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:319458 CAPLUS

DN 138:321291

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo

PA USA

SO U.S. Pat. Appl. Publ., 265 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

OS MARPAT 138:321291

IT 445453-46-3P 445454-93-3P 445454-94-4P 445454-95-5P 445454-96-6P 445454-97-7P 445454-98-8P 445454-99-9P 445455-00-5P

<5/4/2004>

445455-01-6P 445455-02-7P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-23-2P 445455-24-3P 445455-25-4P 445455-29-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or

anxiety)

RN 445453-46-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-94-4 CAPLUS CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN. 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 445455-01-6 CAPLUS CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-04-9 CAPLUS CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

RN 445455-06-1 CAPLUS CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445455-57-2P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of ${\tt depression}$ and/or

anxiety)

RN 445455-57-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

GΙ

Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:594639 CAPLUS

DN 137:154941

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of **depression** and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 832 pp.

CODEN: PIXXD2

DT Patent

LA English

Patel

```
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                      - <del>-</del> - -
                            -----
                                           ______
                                           WO 2002-US4608
                                                             20020131
     WO 2002060392
                      Α2
                            20020808
     WO 2002060392
                      A3
                            20030925
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-775341 A 20010131
                                            EP 2002-714918 20020131
                       A2
                            20031126
     EP 1363638
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                            US 2001-775341 A 20010131
                                            WO 2002-US4608 W 20020131
                                            NO 2003-3388
     NO 2003003388
                             20030924
                                                             20030729
                                            US 2001-775341 A 20010131
                                            WO 2002-US4608 W 20020131
OS
     MARPAT 137:154941
IT
     445453-46-3P 445454-93-3P 445454-94-4P
     445454-95-5P 445454-96-6P 445454-97-7P
     445454-98-8P 445454-99-9P 445455-00-5P
     445455-01-6P 445455-02-7P 445455-03-8P
     445455-04-9P 445455-05-0P 445455-06-1P
     445455-23-2P 445455-24-3P 445455-25-4P
     445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
         (preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor
        antagonists for the treatment of depression and/or
        anxiety)
     445453-46-3
                  CAPLUS
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
      (trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)
```

RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Patel <5/4/2004>

Double bond geometry as shown.

RN 445454-94-4 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(2-naphthalenylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-01-6 CAPLUS CN 2H-Indol-2-one, 3-[(6-chloro-3-pyridinyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-23-2 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(6-quinolinylimino)-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445455-57-2P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of **depression** and/or **anxiety**)

RN 445455-57-2 CAPLUS

CN 1H-Indole-2,3-dione, 1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

$$X$$
 W
 N
 R^{1}

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un) substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un) substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un) substituted Ph, thienyl, pyridylmethyl, etc.; B = (un) substituted Ph, pyridyl, indolyl, etc.)] which are selective

Patel

<5/4/2004>

ΙI

antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL
FULL ESTIMATED COST	215.99	SESSION 371.62
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -30.49	SESSION -30.49

STN INTERNATIONAL LOGOFF AT 16:35:58 ON 04 MAY 2004